





\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks  
 (ROSPATENT) added to list of core patent offices covered  
NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status  
 data from INPADOC  
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded  
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 12 MAR 22 PATDPASPC - New patent database available  
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new  
 fields  
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 16 APR 18 New CAS Information Use Policies available online  
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs),  
 based on application date in CA/CAPLUS and USPATFULL/USPAT2  
 may be affected by a change in filing date for U.S.  
 applications.  
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for  
 U.S. patent records in CA/CAPLUS  
  
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT  
 MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
 AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005  
  
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FILE 'HOME' ENTERED AT 16:51:14 ON 03 MAY 2005

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 16:51:22 ON 03 MAY 2005

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STRUCTURE FILE UPDATES: 2 MAY 2005 HIGHEST RN 849658-68-0  
 DICTIONARY FILE UPDATES: 2 MAY 2005 HIGHEST RN 849658-68-0

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

=> s l1

SAMPLE SEARCH INITIATED 16:58:08 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 148 TO ITERATE

100.0% PROCESSED 148 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 2231 TO 3689  
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 160.90 U.S. DOLLARS  
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y  
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 FULL SCREEN SEARCH COMPLETED - 2750 TO ITERATE

100.0% PROCESSED 2750 ITERATIONS 29 ANSWERS  
 SEARCH TIME: 00.00.01

L3 29 SEA SSS FUL L1

=&gt; file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.06

166.27

FILE 'HCAPLUS' ENTERED AT 16:58:17 ON 03 MAY 2005

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FILE COVERS 1907 - 3 May 2005 VOL 142 ISS 19

FILE LAST UPDATED: 2 May 2005 (20050502/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 9 L3

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0 ZHONGHUI, L?/AU

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34 MADUSKUIE, T?/AU

L6 3 L4 AND MADUSKUIE, T?/AU

=&gt; d l6, ibib abs hitstr, 1-3

L6 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Text	Cited References
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ACCESSION NUMBER: 2004:310829 HCAPLUS

DOCUMENT NUMBER: 140:303552

TITLE: Preparation of  $\beta$ -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- $\alpha$

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; **Maduskuie, Thomas P.**; Voss, Mathew E.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 150 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004072802	A1	20040415	US 2002-267207	20021009
PRIORITY APPLN. INFO.:			US 2002-267207	20021009
OTHER SOURCE(S):			MARPAT 140:303552	

AB Novel  $\beta$ -amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO<sub>2</sub>H, SH, CH<sub>2</sub>SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)<sub>2</sub>, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRal [Ral = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ral may form a ring], CO, CO<sub>2</sub>, O<sub>2</sub>C, CONRal, S(O)p (p = 0-2), etc.; Ya is absent or O, NRal, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRal)r1O(CRaRal)r-Q (r, r1 = 0-4), (CRaRal)r1NRa(CRaRal)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRal)r1O(CRaRal)r-Q1, (CRaRal)r1NRa(CRaRal)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepd. as metalloprotease and TNF- $\alpha$  inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT 362701-40-4P 362701-42-6P

RL: BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

RN 362701-40-4 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3R)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

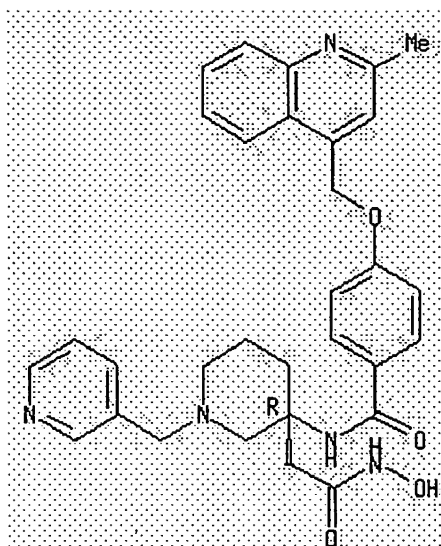
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Absolute stereochemistry.

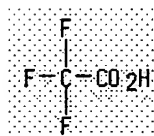
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CM 2

CRN 76-05-1

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RN 362701-42-6 HCAPLUS

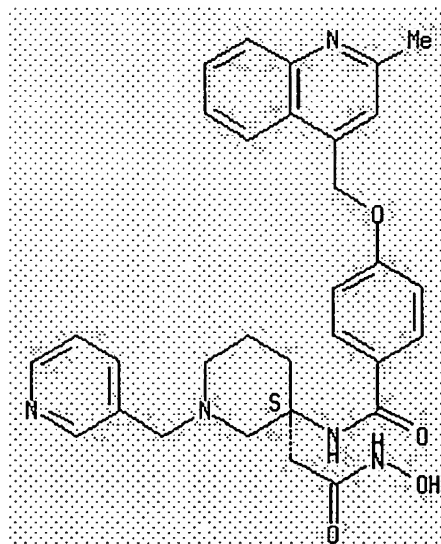
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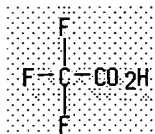
Absolute stereochemistry.



CM 2

CRN 76-05-1

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362699-83-0P 362699-84-1P 362699-85-2P  
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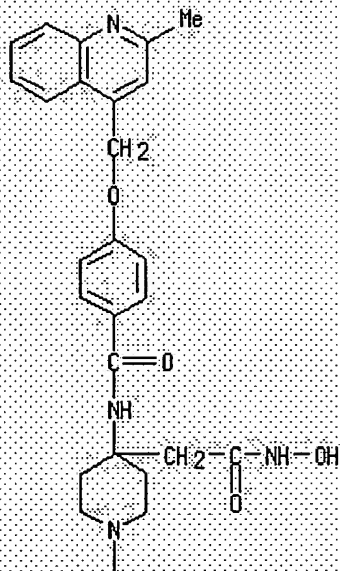
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(prepn. of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

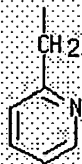
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PAGE 1-A



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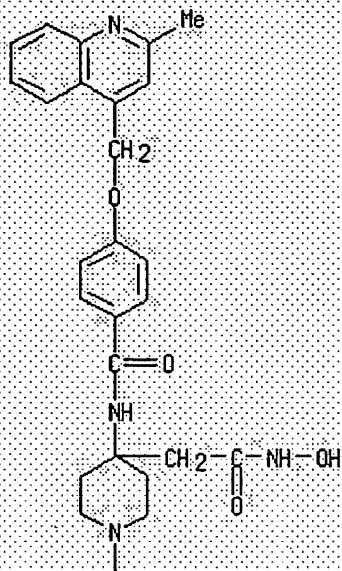
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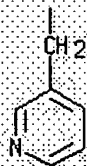


NAME)

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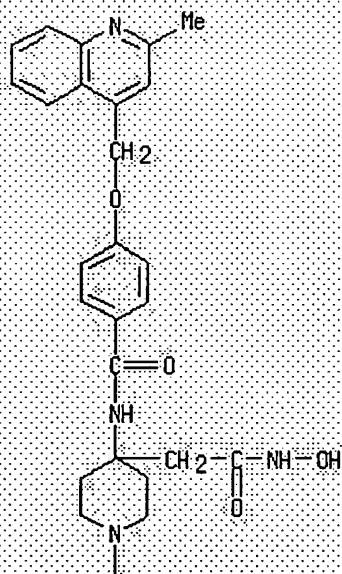
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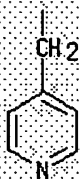
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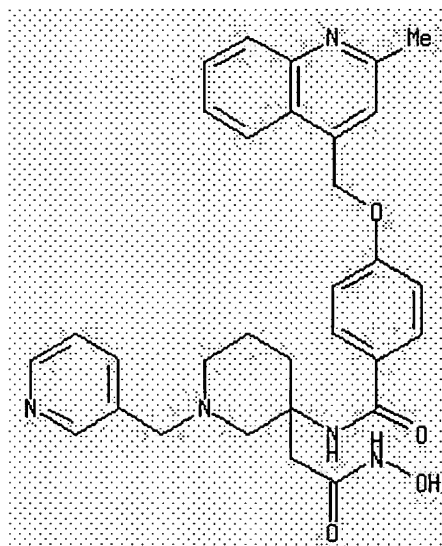
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PAGE 2-A

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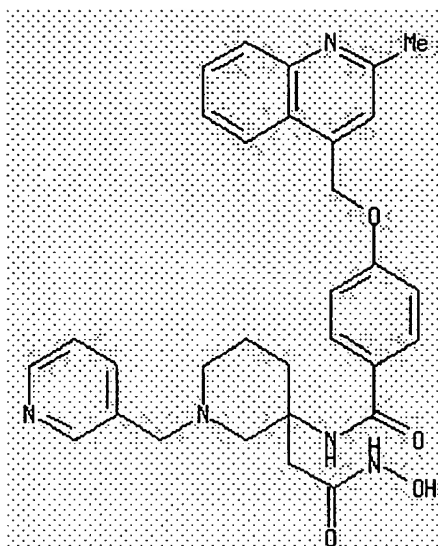
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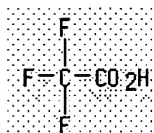
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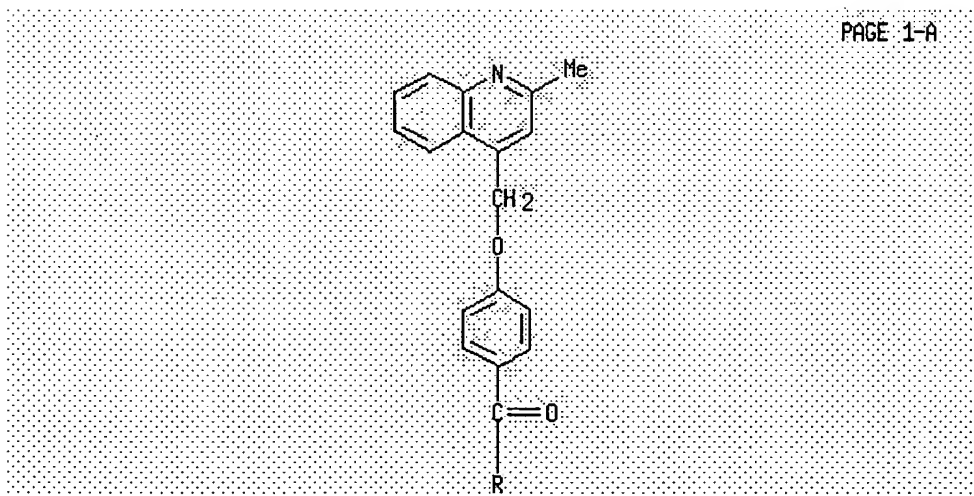
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CRN 76-05-1

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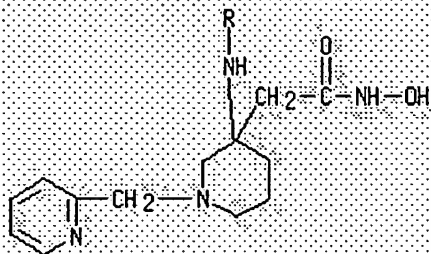
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CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



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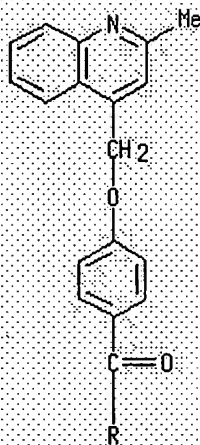
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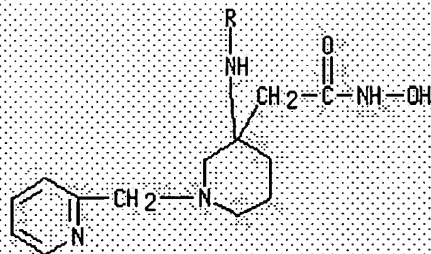
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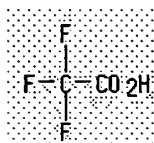
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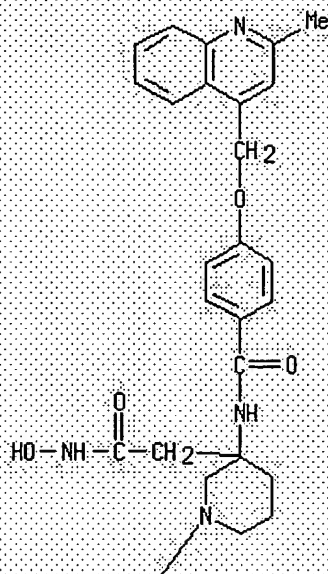
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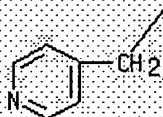
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CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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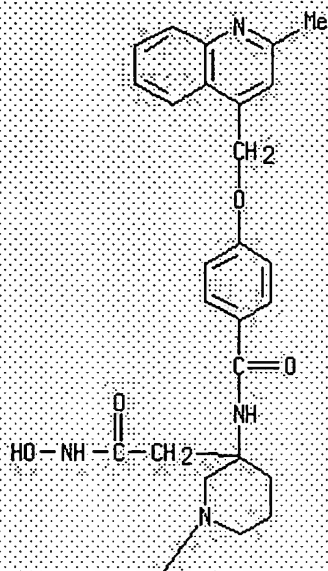
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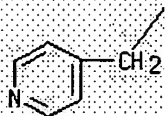
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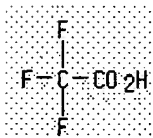
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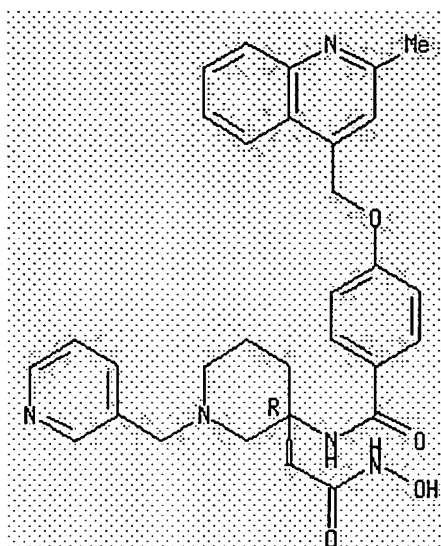
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CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3R)- (9CI) (CA INDEX NAME)

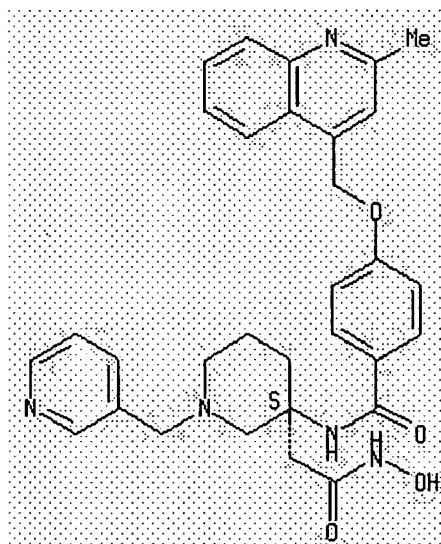
Absolute stereochemistry.



RN 362701-41-5 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 362704-13-0P 362704-14-1P 362704-15-2P

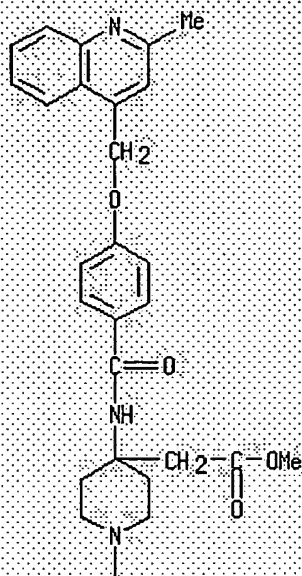
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

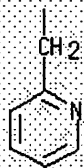
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PAGE 1-A



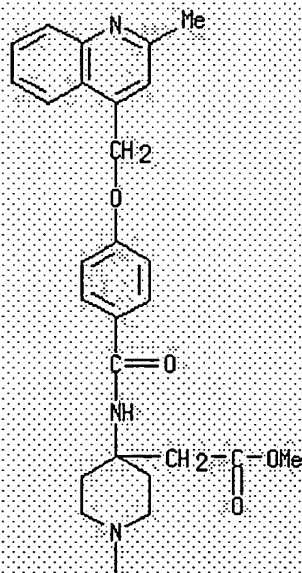
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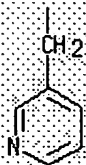
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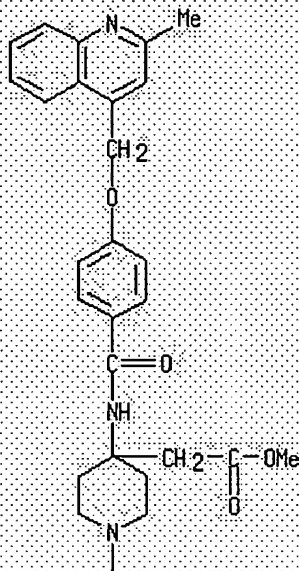
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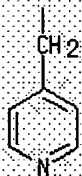
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PAGE 1-A



PAGE 2-A



L6 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

Full  
TextCiting  
References

ACCESSION NUMBER:

2001:713343 HCAPLUS

DOCUMENT NUMBER:

135:272894

TITLE:

Preparation of  $\beta$ -amino acid derivatives as  
inhibitors of matrix metalloproteases and TNF- $\alpha$   
Duan, Jingwu; King, Bryan W.; Decicco, Carl;  
**Maduskuie, Thomas P., Jr.**; Voss, Matthew E.

INVENTOR(S):

PATENT ASSIGNEE(S):

Dupont Pharmaceuticals Company, USA

SOURCE:

PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2001070734</u>	A2	20010927	<u>WO 2001-US8336</u>	20010315
<u>WO 2001070734</u>	A3	20020314		
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<u>EP 1263756</u>	A2	20021211	<u>EP 2001-924171</u>	20010315
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			<u>US 2000-190183P</u>	P 20000317
			<u>US 2000-235467P</u>	P 20000926
			<u>US 2000-252062P</u>	P 20001120
			<u>WO 2001-US8336</u>	W 20010315

NO 0981116 NO

OTHER SOURCE(S): MARPAT 135:272894

AB Novel  $\beta$ -amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO<sub>2</sub>H, SH, CH<sub>2</sub>SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)<sub>2</sub>, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO<sub>2</sub>, O<sub>2</sub>C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepd. as metalloprotease and TNF- $\alpha$  inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT 362701-40-4P 362701-42-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

RN 362701-40-4 HCAPLUS

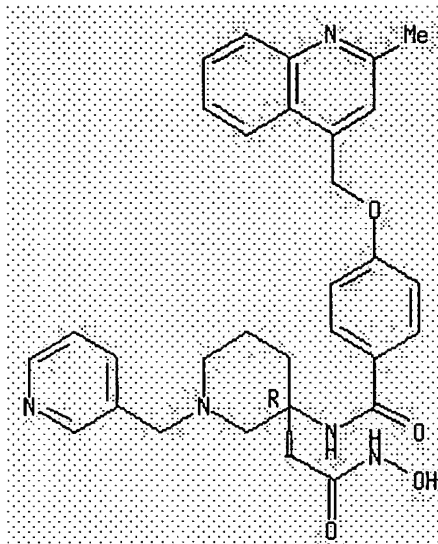
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CM 1

CRN 362701-39-1

CMF C31 H33 N5 O4

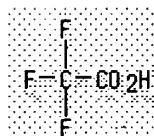
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 362701-42-6 HCAPLUS

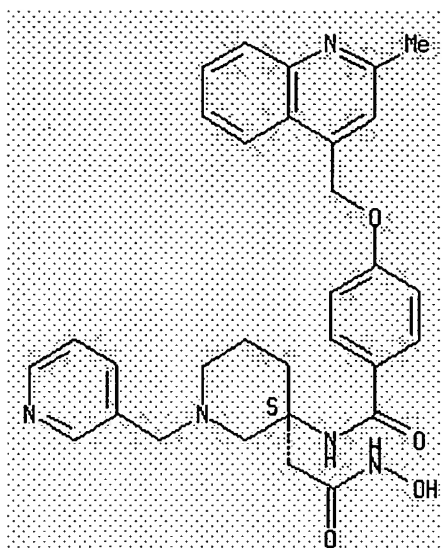
CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3S)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 362701-41-5

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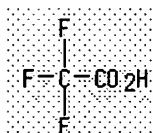
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 362698-05-3P 362698-06-4P 362698-07-5P  
362699-83-0P 362699-84-1P 362699-85-2P  
362699-86-3P 362699-87-4P 362699-88-5P  
362701-39-1P 362701-41-5P

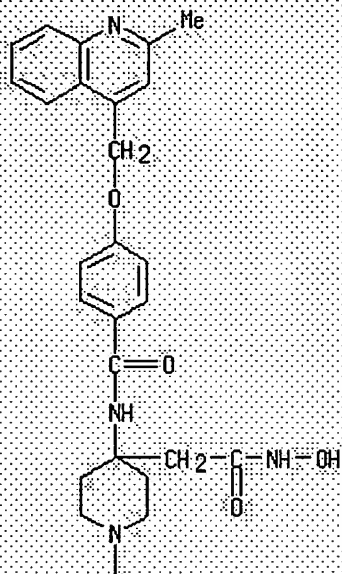
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

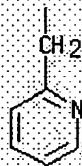
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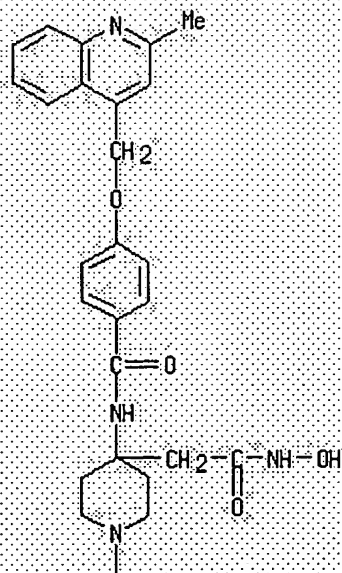
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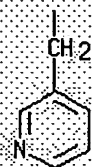
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PAGE 1-A

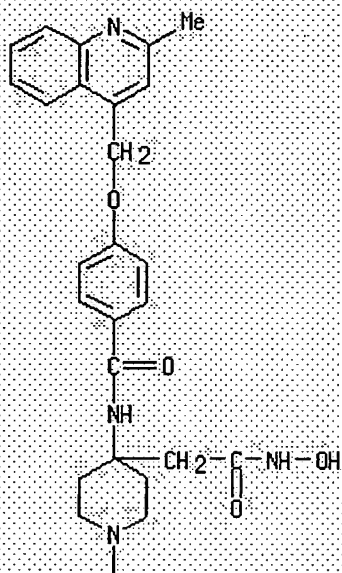


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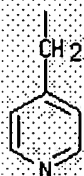
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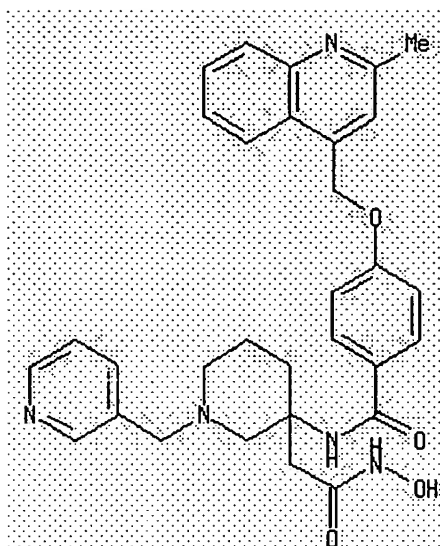
PAGE 1-A



PAGE 2-A

RN 362699-83-0 HCAPLUS

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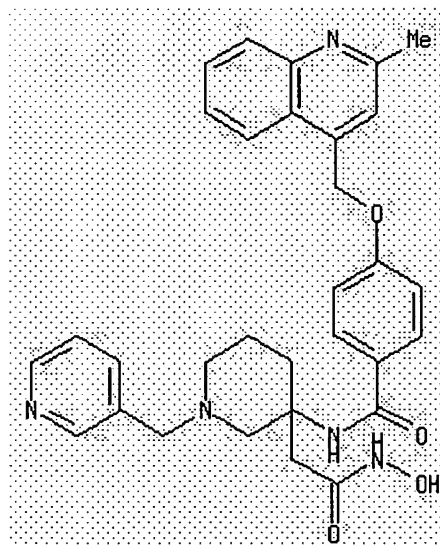
RN 362699-84-1 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 362699-83-0

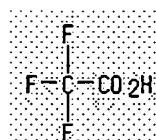
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CM 2

CRN 76-05-1

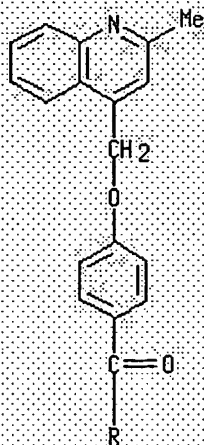
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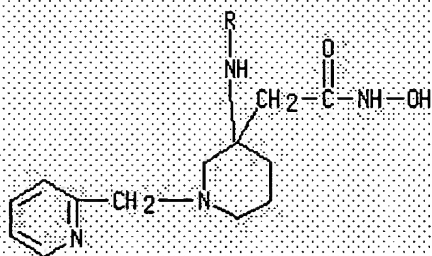
RN 362699-85-2 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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RN 362699-86-3 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(2-pyridinylmethyl)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

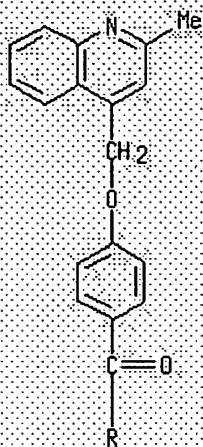
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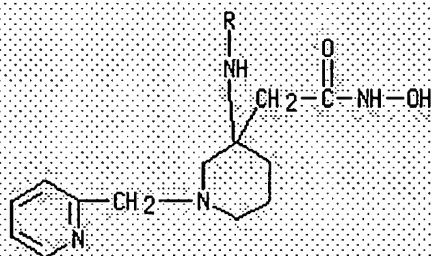
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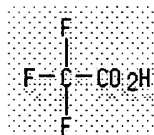


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CM 2

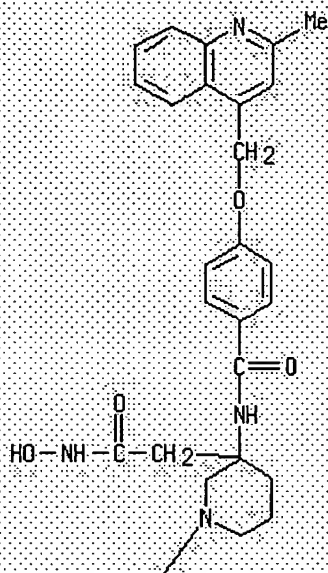
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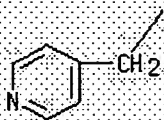
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CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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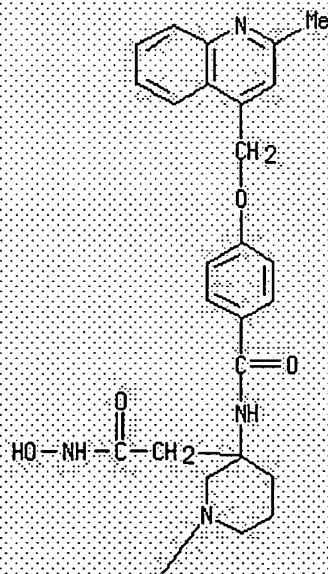
CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(4-pyridinylmethyl)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

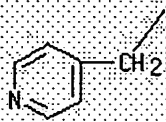
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CMF C31 H33 N5 O4

PAGE 1-A



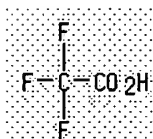
PAGE 2-A



CM 2

CRN 76-05-1

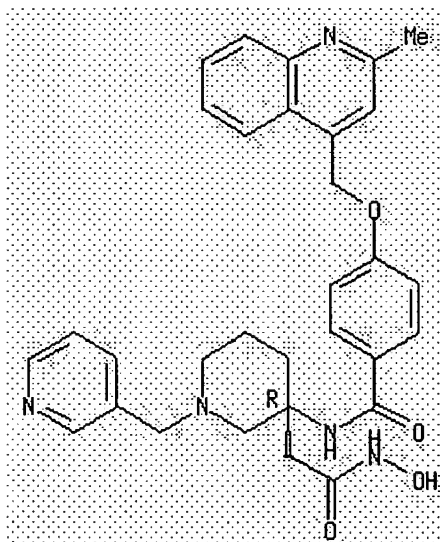
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RN 362701-39-1 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3R)- (9CI) (CA INDEX NAME)

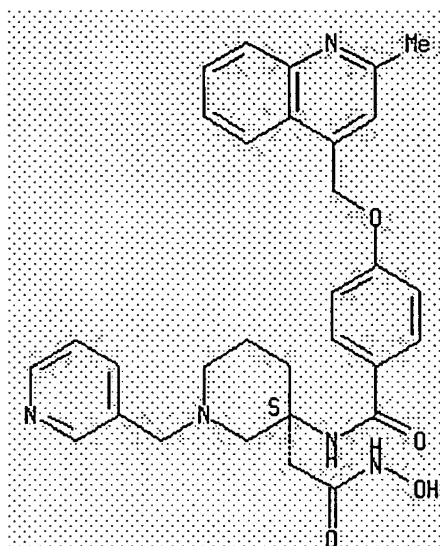
Absolute stereochemistry.



RN 362701-41-5 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 362704-13-0P 362704-14-1P 362704-15-2P

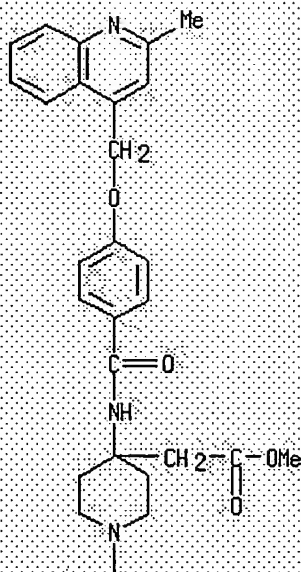
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

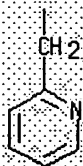
RN 362704-13-0 HCAPLUS

CN 4-Piperidineacetic acid, 4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(2-pyridinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



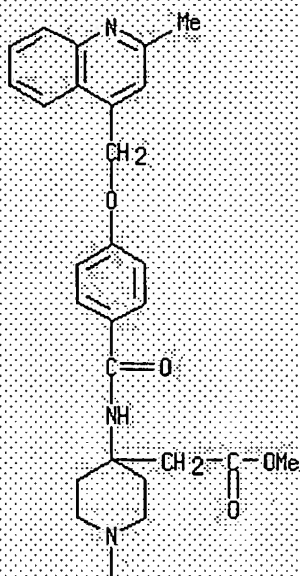
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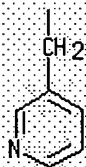
RN 362704-14-1 HCAPLUS

CN 4-Piperidineacetic acid, 4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

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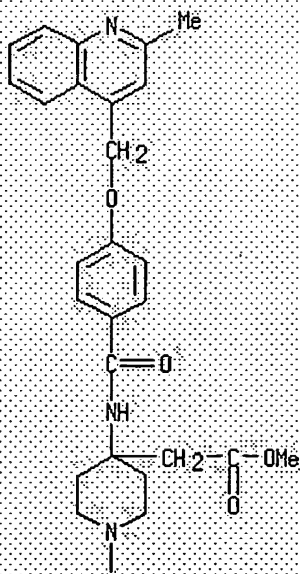
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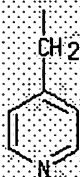
RN 362704-15-2 HCAPLUS

CN 4-Piperidineacetic acid, 4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(4-pyridinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

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PAGE 2-A



L6 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Text      Citations  
References

ACCESSION NUMBER: 2001:713294 HCAPLUS  
DOCUMENT NUMBER: 135:257169  
TITLE: Preparation of cyclic  $\beta$ -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- $\alpha$   
INVENTOR(S): Duan, Jingwu; Ott, Gregory; Chen, Linhua; Lu, Zhonghui; **Maduskuie, Thomas P., Jr.**; Voss, Matthew E.; Xue, Chu-Biao  
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA  
SOURCE: PCT Int. Appl., 298 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2001070673</u>	A2	20010927	<u>WO 2001-US8334</u>	20010315
<u>WO 2001070673</u>	A3	20020314		
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, HU, IN, JP, KR, LT, LU, LV, MX, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
<u>CA 2401870</u>	AA	20010927	<u>CA 2001-2401870</u>	20010315
<u>EP 1263755</u>	A2	20021211	<u>EP 2001-924170</u>	20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
<u>BR 2001009467</u>	A	20030603	<u>BR 2001-9467</u>	20010315
<u>JP 2003528072</u>	T2	20030924	<u>JP 2001-568885</u>	20010315
<u>EE 200200529</u>	A	20040216	<u>EE 2002-529</u>	20010315
<u>NZ 521248</u>	A	20040430	<u>NZ 2001-521248</u>	20010315
<u>US 2002016336</u>	A1	20020207	<u>US 2001-811233</u>	20010316
<u>US 6743807</u>	B2	20040601		
<u>US 2004162426</u>	A1	20040819	<u>US 2004-779539</u>	20040213
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			<u>US 2000-190182P</u>	P 20000317
			<u>US 2000-233373P</u>	P 20000918
			<u>US 2000-255539P</u>	P 20001214
			<u>WO 2001-US8334</u>	W 20010315
			<u>US 2001-811233</u>	A3 20010316

OTHER SOURCE(S): MARPAT 135:257169

AB Novel cyclic  $\beta$ -amino acid derivs. A-CRR2aCRR2bNR1CO-Z-Ua-Xa-Ya-Za [A = CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>H, SH, CH<sub>2</sub>SH, S(O)Ra:NH (Ra = H, alkyl, Ph, benzyl), P(O)(OH)<sub>2</sub>, etc.; CRCR is a substituted 3-13 membered nonarom. carbocyclic or heterocyclic ring; Z is absent or substituted C3-13 carbocycle or 5-14

membered heterocycle; Ua is absent or O, NRa1 (Ra1 = H, alkyl), CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Xa is absent or C1-10 alkylene, C2-10 alkenylene or alkynylene; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, C1-4 alkyl, Ph, benzyl; R2a is H, C1-6 alkyl, ORa, NRaRa1 or S(O)pRa; R2b is H, C1-6 alkyl (with provisos) or pharmaceutically acceptable salts were prepd. as metalloprotease and TNF- $\alpha$  inhibitors. Thus, (3S,4S)-N-hydroxy-1-isopropyl-4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-3-pyrrolidinecarboxamide was prepd. by a multistep procedure starting with condensation of benzyl Me maleate, glycine, and paraformaldehyde to form 3,4-pyrroledicarboxylate diester and involving amidation of 4-[(2-methyl-4-quinolinyl)methoxy]benzoic acid.

IT 362486-16-6P 362486-17-7P 362486-64-4P  
362486-65-5P 362486-68-8P 362486-69-9P  
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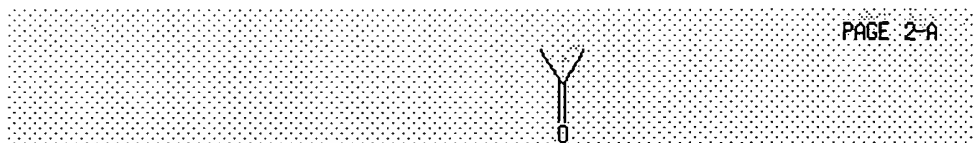
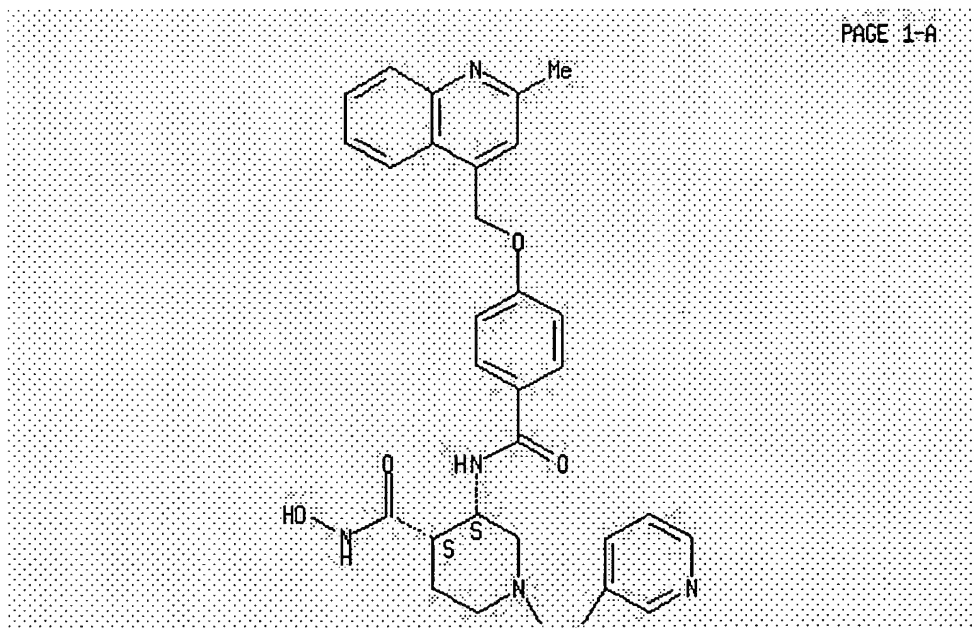
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

RN 362486-16-6 HCAPLUS

CN 4-Piperidinecarboxamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylcarbonyl)-, (3S,4S)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 362486-17-7 HCAPLUS

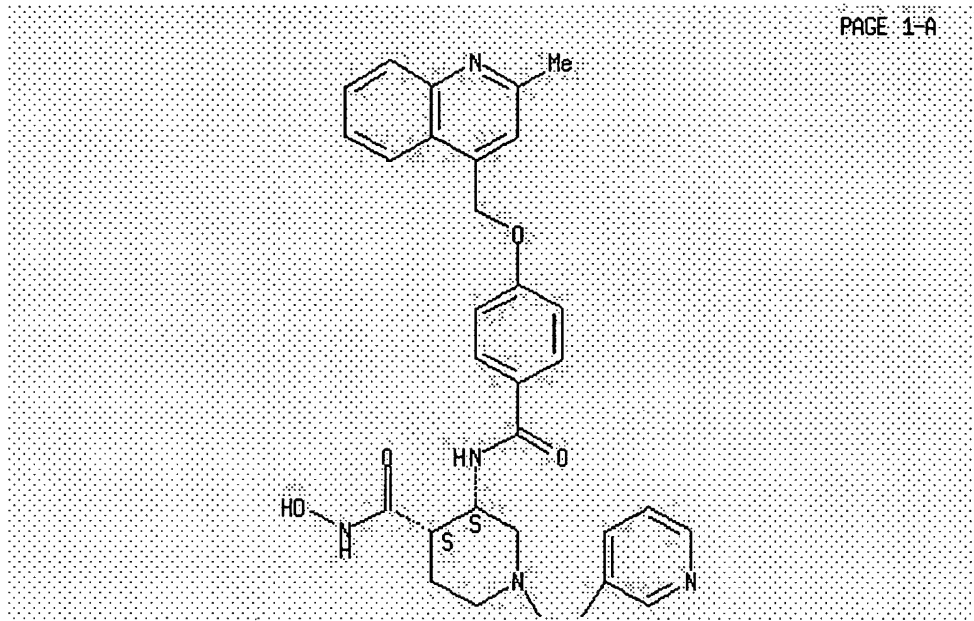
CN 4-Piperidinecarboxamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylcarbonyl)-, (3S,4S)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 362486-16-6

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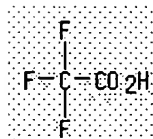
Absolute stereochemistry.



CM 2

CRN 76-05-1

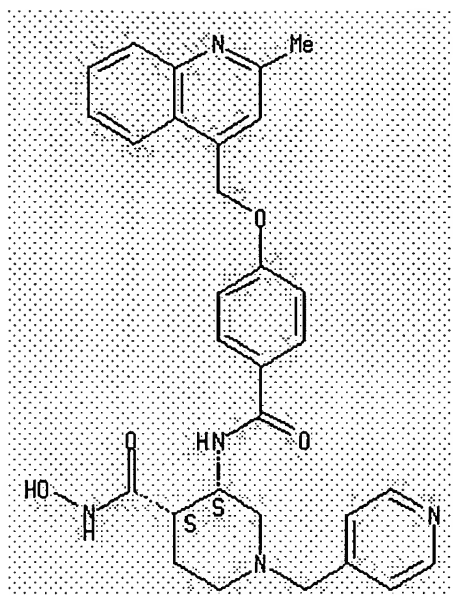
CMF C2 H F3 O2

RN 362486-64-4 HCAPLUS

CN 4-Piperidinecarboxamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(4-pyridinylmethyl)-, (3S,4S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.





RN 362486-65-5 HCAPLUS

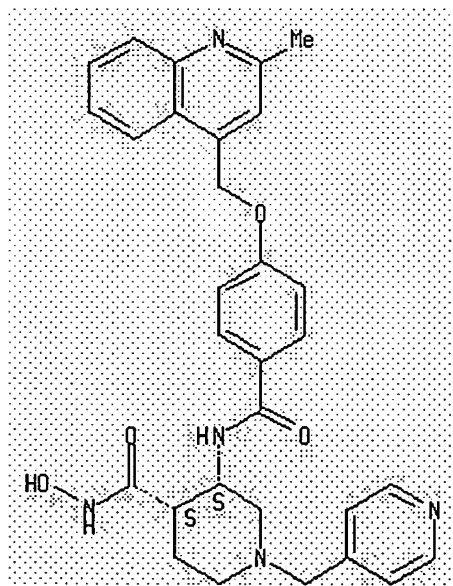
CN 4-Piperidinecarboxamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(4-pyridinylmethyl)-, (3S,4S)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 362486-64-4

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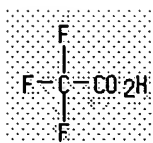
Absolute stereochemistry.



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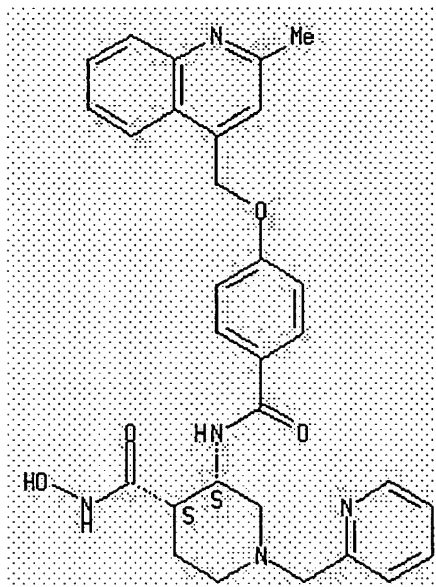
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RN 362486-68-8 HCAPLUS

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(CA INDEX NAME)

Absolute stereochemistry.



RN 362486-69-9 HCAPLUS

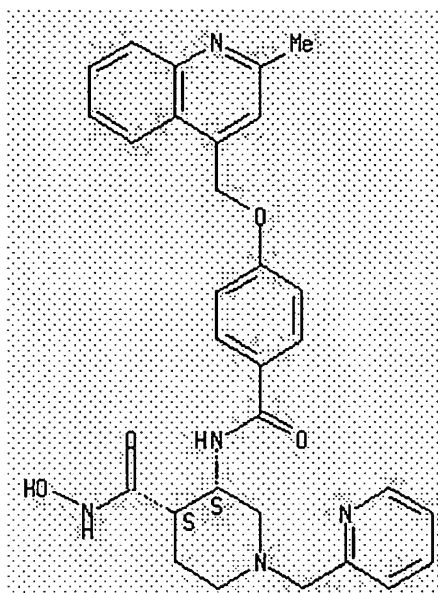
CN 4-Piperidinecarboxamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(2-pyridinylmethyl)-, (3S,4S)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 362486-68-8

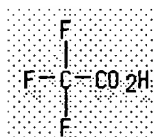
CMF C30 H31 N5 O4

Absolute stereochemistry.



CM 2

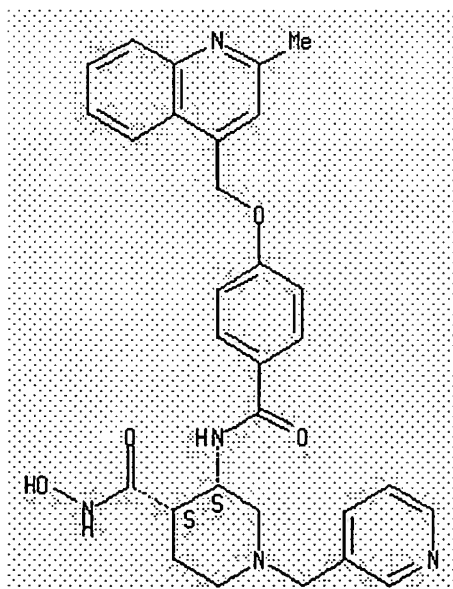
CRN 76-05-1  
CMF C2 H F3 O2



RN 362486-72-4 HCAPLUS

CN 4-Piperidinecarboxamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3S,4S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 362486-73-5 HCAPLUS

CN 4-Piperidinecarboxamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(3-pyridinylmethyl)-, (3S,4S)-,

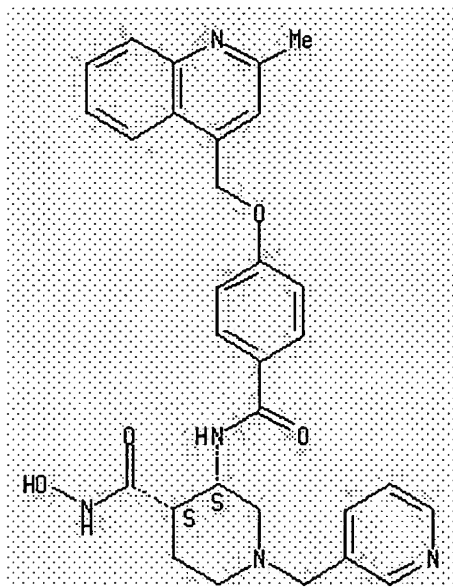
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 362486-72-4

CMF C30 H31 N5 O4

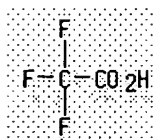
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



=> d his

(FILE 'HOME' ENTERED AT 16:51:14 ON 03 MAY 2005)

FILE 'REGISTRY' ENTERED AT 16:51:22 ON 03 MAY 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 29 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:58:17 ON 03 MAY 2005

L4 9 S L3

L5 0 S L4 AND ZHONGHUI, L?/AU

L6 3 S L4 AND MADUSKUIE, T?/AU

=> s 14 not 15

L7 6 L4 NOT L6

=> s l7 and voss, m?/au  
 202 VOSS, M?/AU  
 L8 0 L7 AND VOSS, M?/AU

=> s l7 and xue, c?/au  
 689 XUE, C?/AU  
 L9 0 L7 AND XUE, C?/AU

=> s l7 and duan, j?/au  
 823 DUAN, J?/AU  
 L10 1 L7 AND DUAN, J?/AU

=> s l10 not l6  
 L11 1 L10 NOT L6

=> d l11, ibib abs hitstr, 1

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

Full  
Text

ACCESSION NUMBER: 2003:950052 HCAPLUS  
 DOCUMENT NUMBER: 140:13040  
 TITLE: Combined use of TACE inhibitors and COX2 inhibitors as anti-inflammatory agents  
 INVENTOR(S): Duan, Jingwu  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 20 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

10/453036 = APP = 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003225054	A1	20031204	US 2003-453036	20030603
PRIORITY APPLN. INFO.:			US 2002-385656P	P 20020603

OTHER SOURCE(S): MARPAT 140:13040

AB This invention relates to a method of treating inflammatory diseases in a mammal comprising administering to the mammal a therapeutically effective amt. of a combination of: (i) at least one TACE inhibitor, (ii) one or more anti-inflammatory agents selected from the group consisting of: selective COX-2 inhibitors, interleukin-1 antagonists, dihydroorotate synthase inhibitors, p38 MAP kinase inhibitors, TNF- $\alpha$  inhibitors, TNF- $\alpha$  sequestration agents, and methotrexate. The invention also relates to comps. and kits contg. the same.

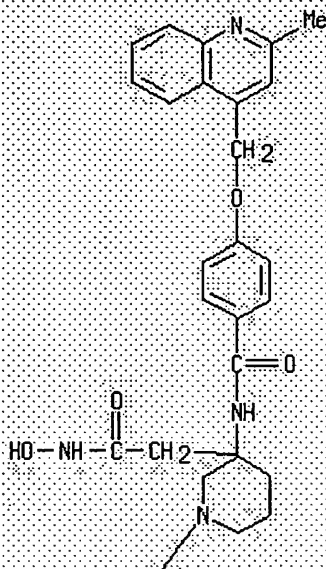
IT 362699-87-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combined use of TACE inhibitors and COX2 inhibitors as anti-inflammatory agents)

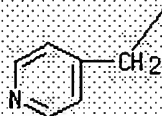
RN 362699-87-4 HCAPLUS

CN 3-Piperidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



=&gt; d his

(FILE 'HOME' ENTERED AT 16:51:14 ON 03 MAY 2005)

FILE 'REGISTRY' ENTERED AT 16:51:22 ON 03 MAY 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 29 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:58:17 ON 03 MAY 2005

L4 9 S L3

L5 0 S L4 AND ZHONGHUI, L?/AU

L6 3 S L4 AND MADUSKUIE, T?/AU

L7 6 S L4 NOT L6

L8 0 S L7 AND VOSS, M?/AU

L9 0 S L7 AND XUE, C?/AU

L10 1 S L7 AND DUAN, J?/AU

L11 1 S L10 NOT L6

=&gt; s l7 not l11

L12 5 L7 NOT L11

=&gt; s l12 and ott, g?/au

346 OTT, G?/AU

L13 0 L12 AND OTT, G?/AU

=&gt; s l12 and chen, l?/au

15829 CHEN, L?/AU

L14 0 L12 AND CHEN, L?/AU

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=> s l12 and decicco, c?/au
      132 DECICCO, C?/AU
L15      0 L12 AND DECICCO, C?/AU
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      6248 LU, Z?/AU
      0 MADUSKUIE
      34 MADUSKUIE, T?/AU
      202 VOSS, M?/AU
      0 XUIE, C?/AU
      823 DUAN, J?/AU
      346 OTT, G?/AU
      15829 CHEN, L?/AU
      132 DECICCO, C?/AU
L16      0 LU, Z?/AU AND MADUSKUIE AND MADUSKUIE, T?/AU AND VOSS, M?/AU
      AND XUIE, C?/AU AND DUAN, J?/AU AND OTT, G?/AU AND CHEN, L?/AU
      AND DECICCO, C?/AU
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COST IN U.S. DOLLARS
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ENTRY	SESSION
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FULL ESTIMATED COST
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
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SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE
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 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907-1966
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FILE LAST UPDATED: 01 May 1997 (19970501/UP)
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This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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=> d his
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(FILE 'HOME' ENTERED AT 16:51:14 ON 03 MAY 2005)
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FILE 'REGISTRY' ENTERED AT 16:51:22 ON 03 MAY 2005
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L1      STRUCTURE UPLOADED
L2      0 S L1
L3      29 S L1 FULL
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FILE 'HCAPLUS' ENTERED AT 16:58:17 ON 03 MAY 2005
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L4      9 S L3
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L5           0 S L4 AND ZHONGHUI, L?/AU  
L6           3 S L4 AND MADUSKUIE, T?/AU  
L7           6 S L4 NOT L6  
L8           0 S L7 AND VOSS, M?/AU  
L9           0 S L7 AND XUE, C?/AU  
L10          1 S L7 AND DUAN, J?/AU  
L11          1 S L10 NOT L6  
L12          5 S L7 NOT L11  
L13          0 S L12 AND OTT, G?/AU  
L14          0 S L12 AND CHEN, L?/AU  
L15          0 S L12 AND DECICCO, C?/AU  
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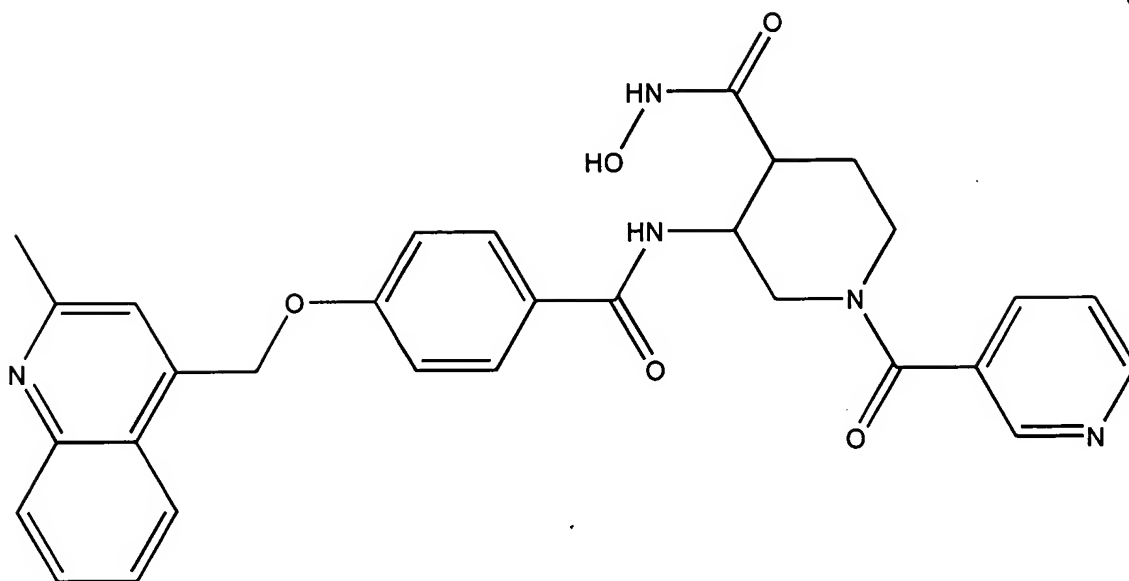
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L17           0 L3

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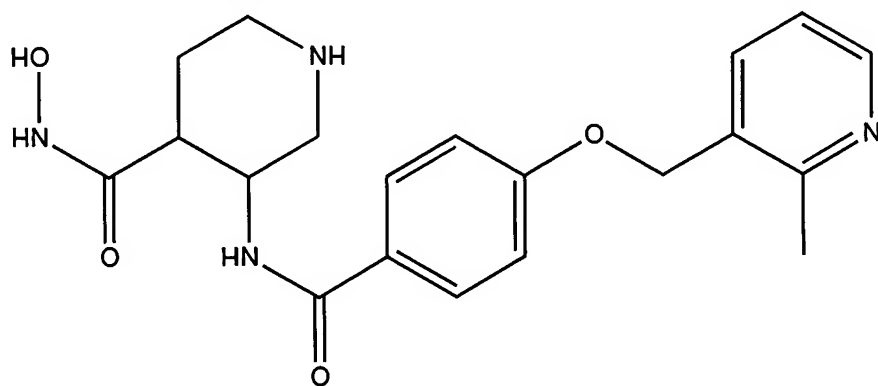
546/ 26 → 112 → 134 →  
 (135)  
 453/02



1-[(pyridin-3-yl)carbonyl]-N-hydroxy-3-[[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]carbonyl]amino]-4-piperidinecarboxamide

514/ 183 → 277 → 279 → 299 →  
 311 → (314)

N-hydroxy-3-({4-[(2-methyl-3-pyridinyl)methoxy]benzoyl}amino)-4-piperidinecarboxamide



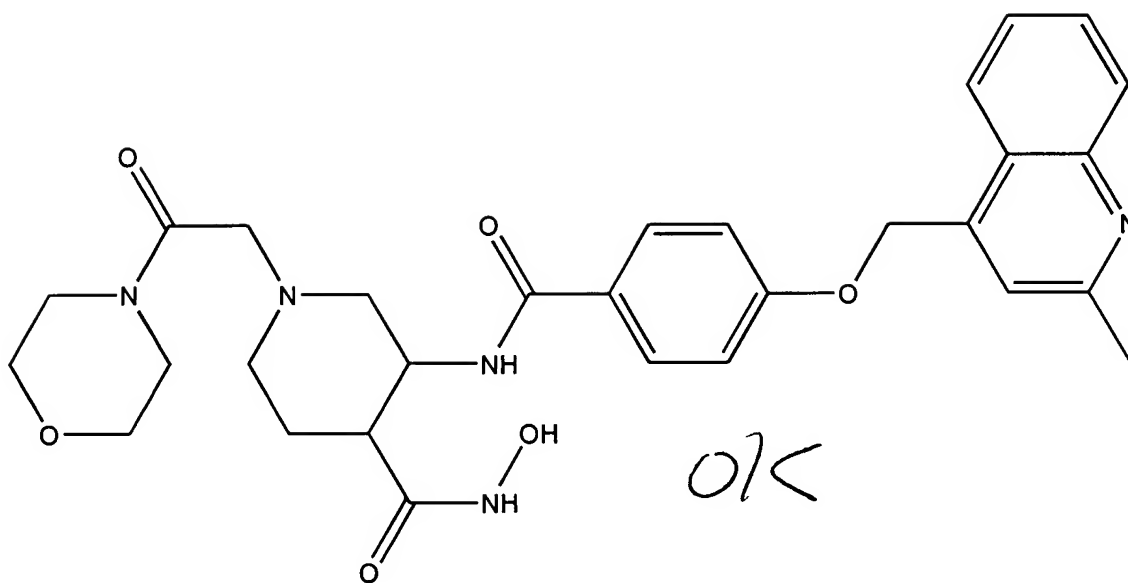
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COTD/68

546/1 → 184 → 192 →  
193 → 194 →

514/183 → 277 → 365 →

317 → 318 →

AGIK 31/445



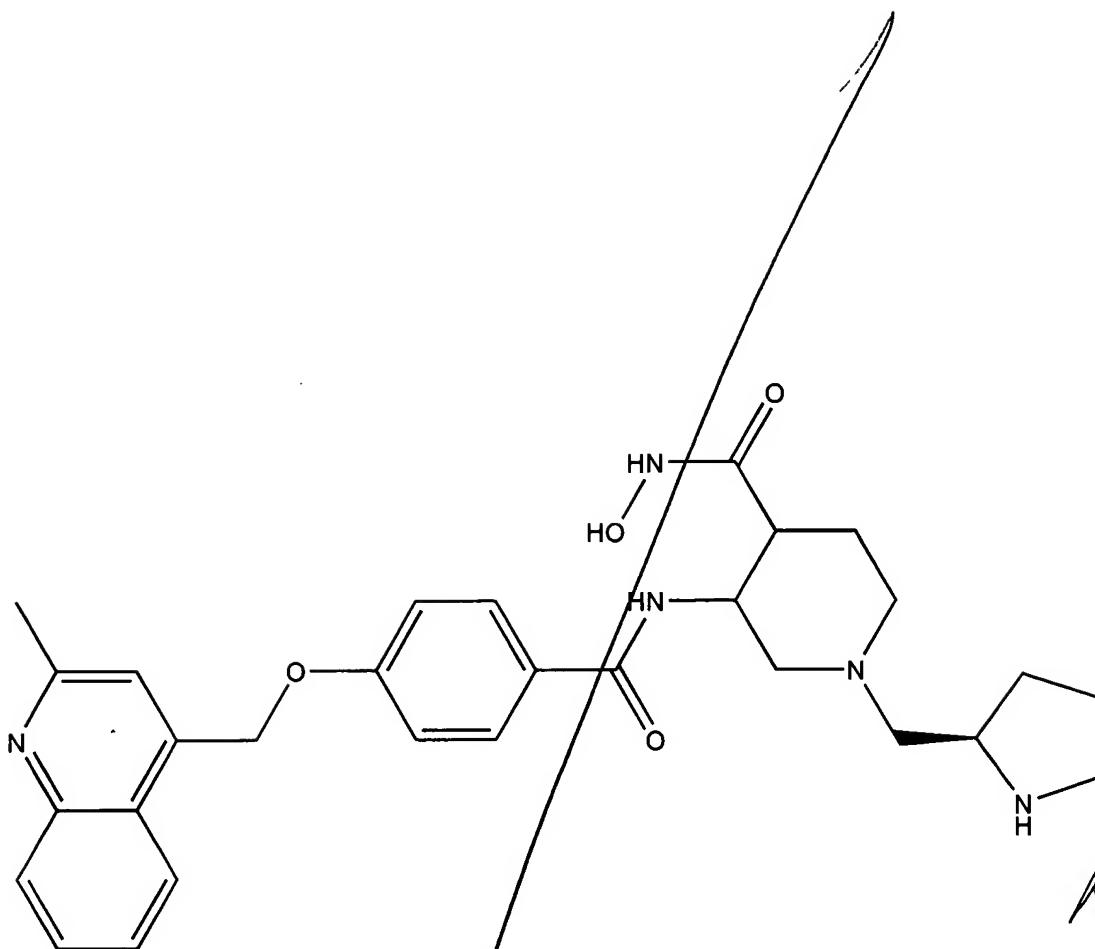
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n-hydroxy-3-({4-[(2-methyl-4-quinoliny)methoxy]benzoyl}amino)-1-[2-(4-morpholinyl)-2-oxoethyl]-4-piperidinecarboxamide

CO7P 413/00

544/1 → 63 → 106 →  
 111 → 124 → 125 → 127

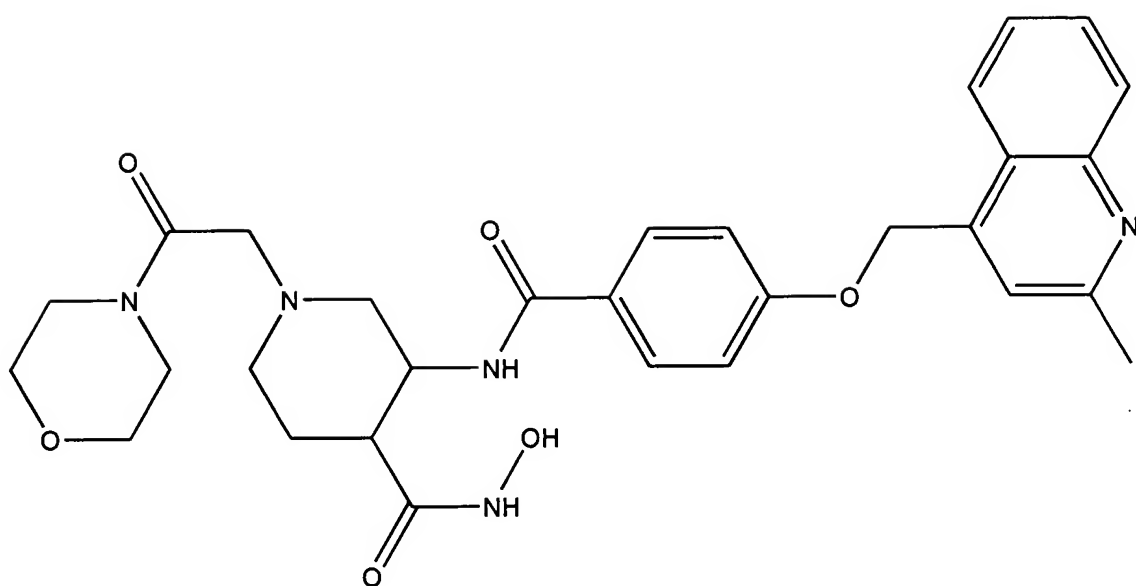
S14/183 → 277 → 279 → 299 → 311 → 314



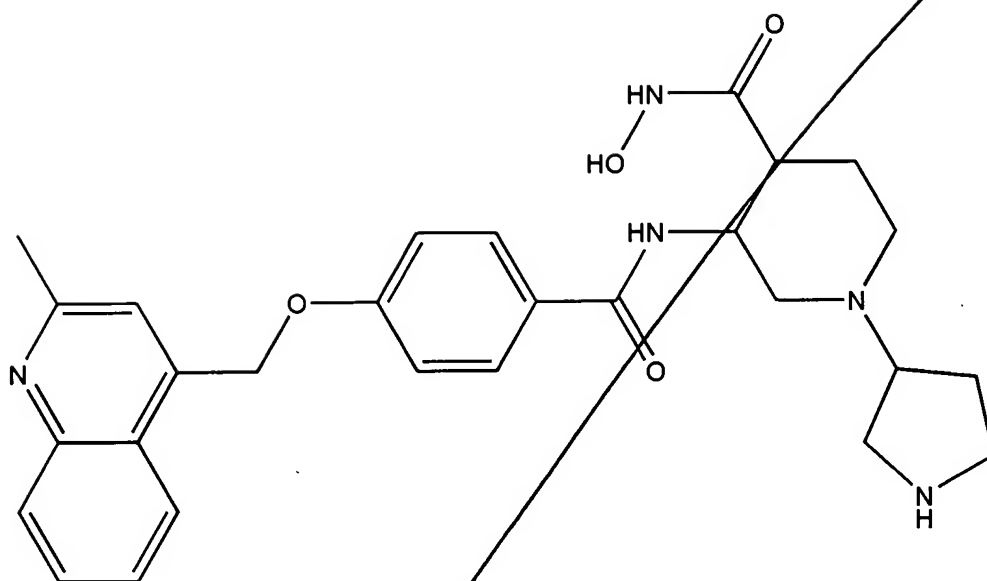
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S46

S14

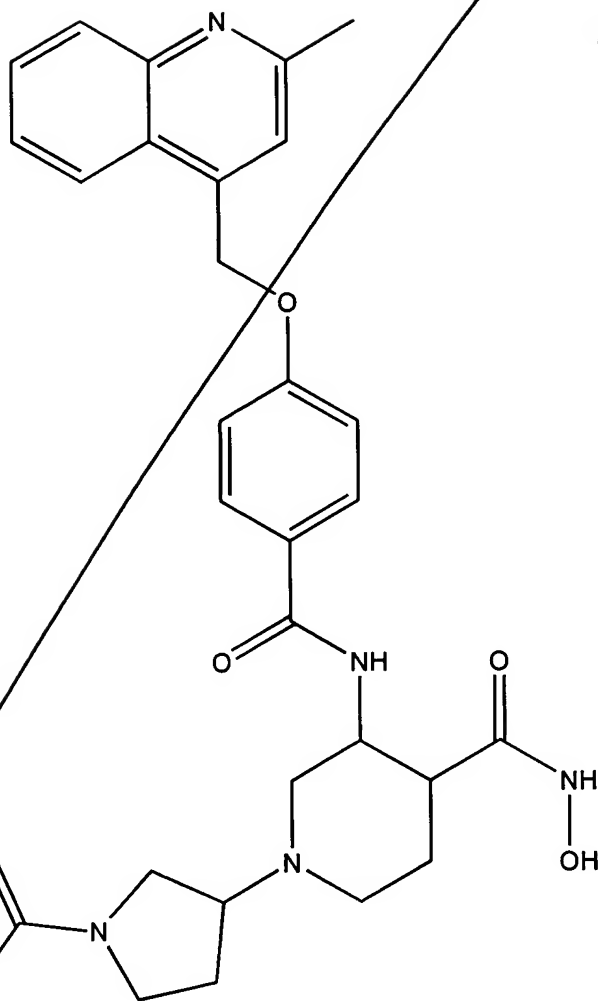


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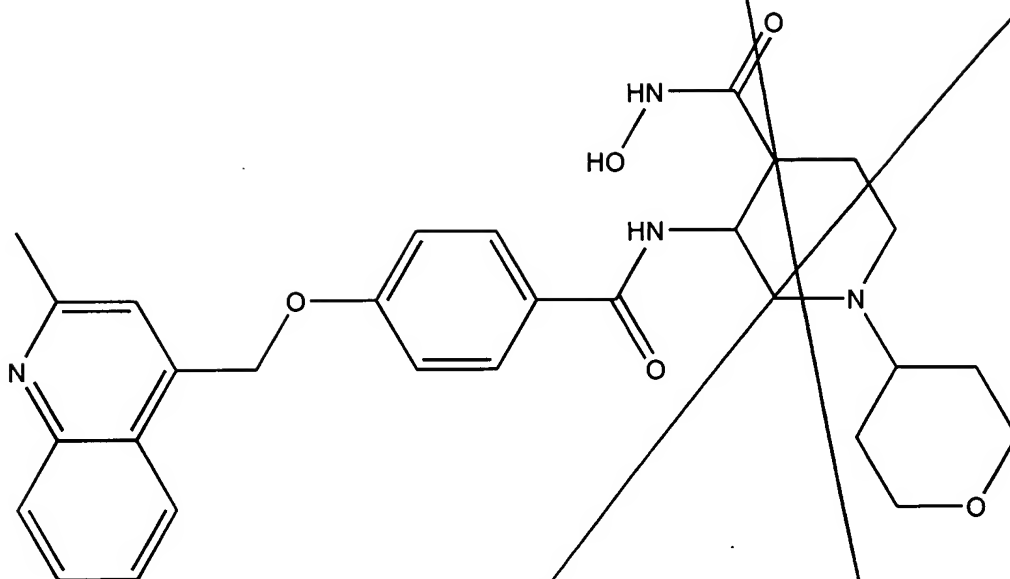


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P. 36

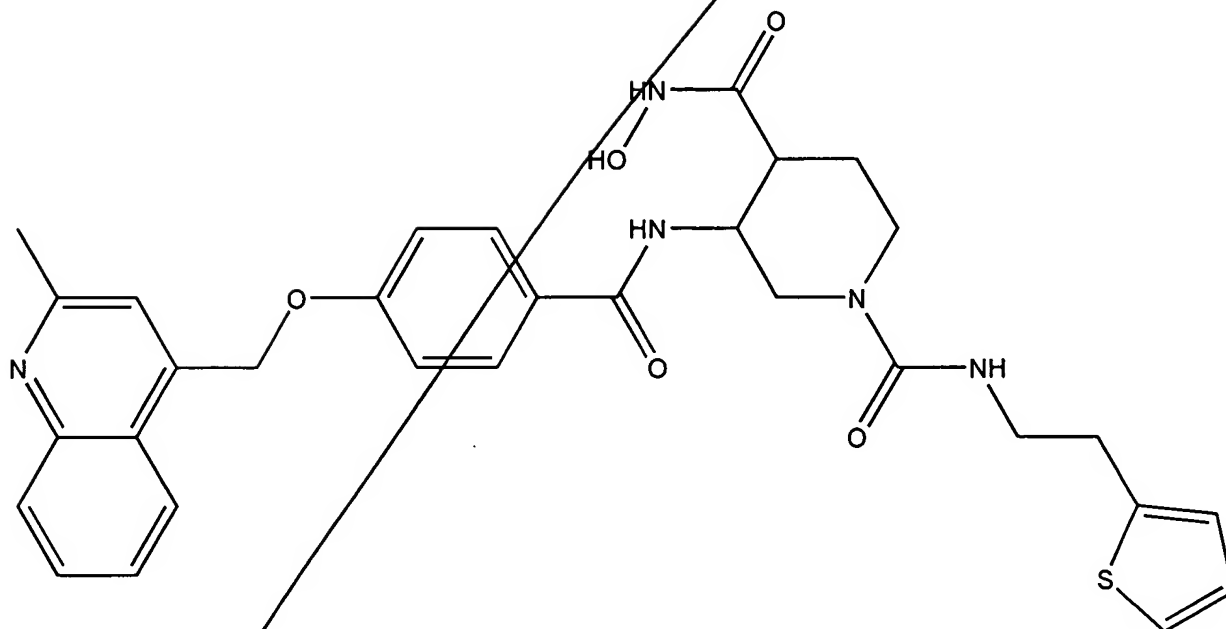


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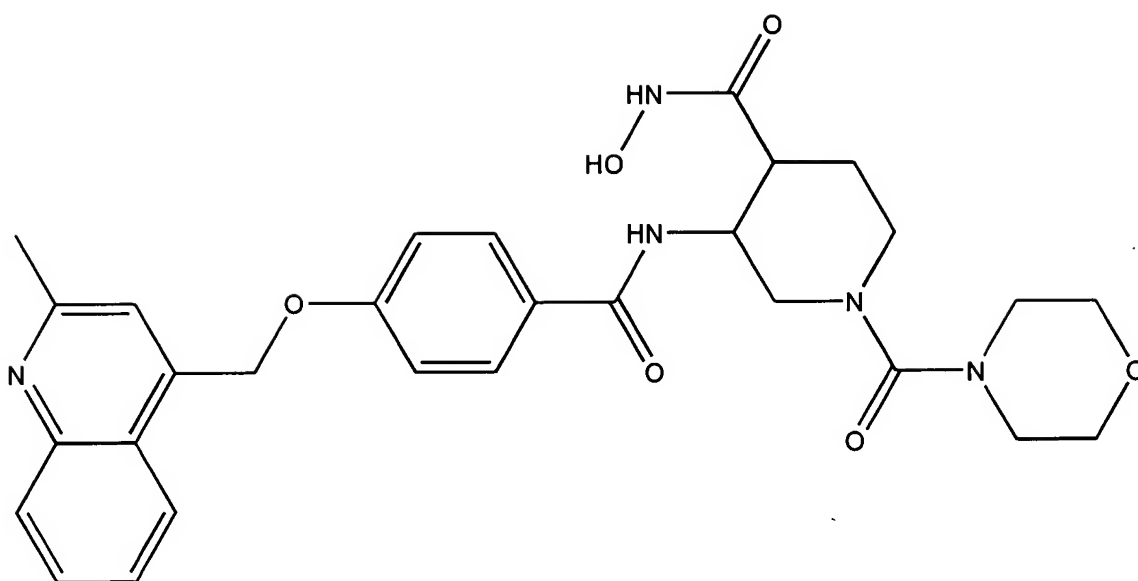


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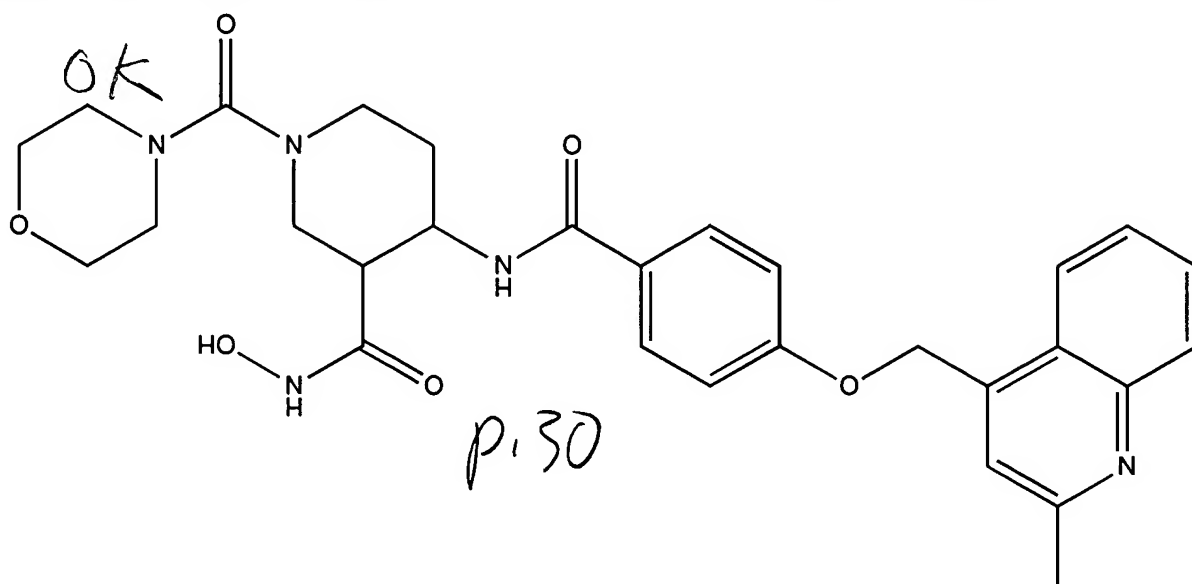


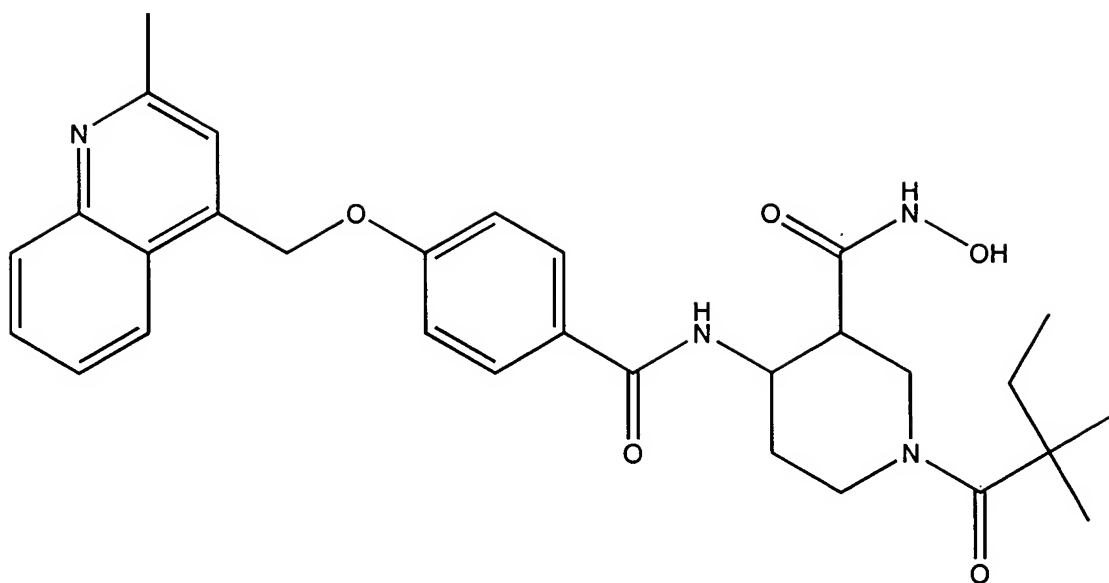
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N-hydroxy-3-[[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]carbonyl]amino]-1-(4-morpholinecarbonyl)-4-piperidinecarboxamide

n-hydroxy-4-[[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]carbonyl]amino]-1-(4-morpholinyl)-3-piperidinecarboxamide





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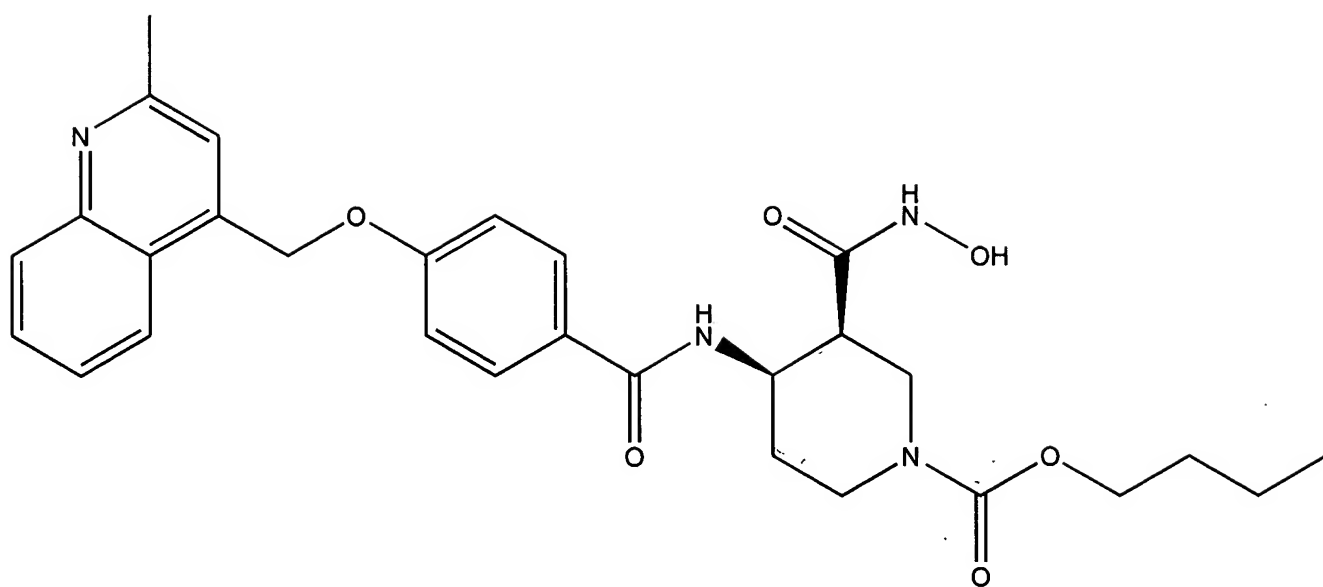
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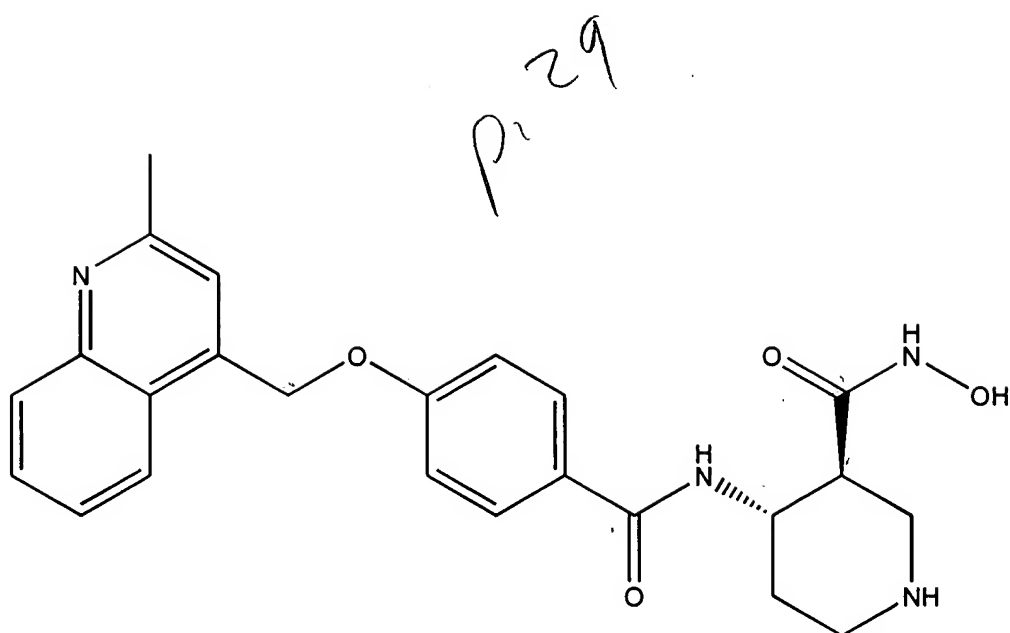
152 → 165 → 166

A61K  
31/47

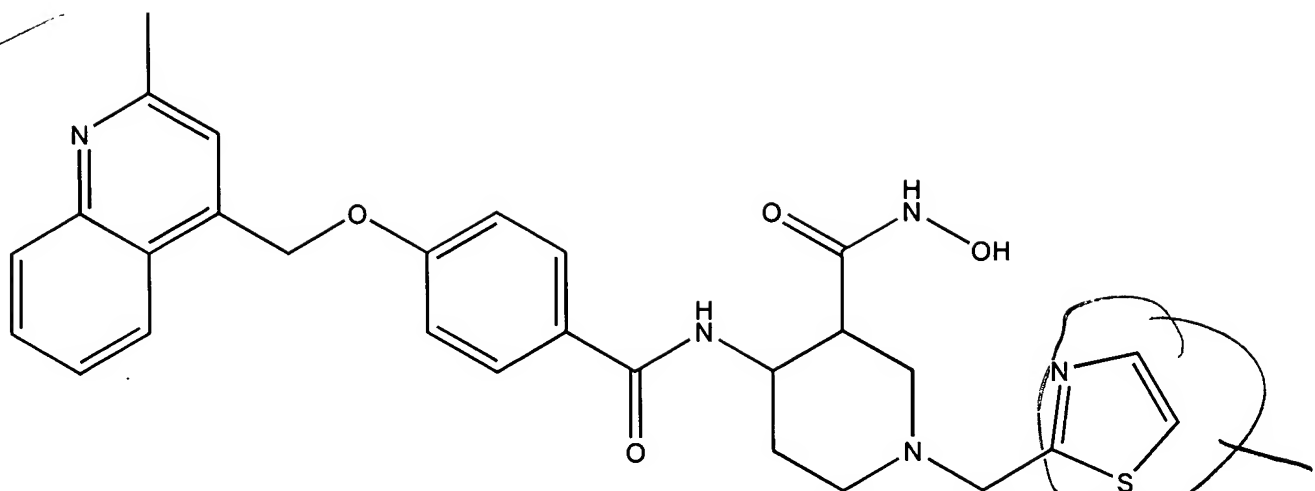
S14/277 → 279 → 299 →  
311 → 314



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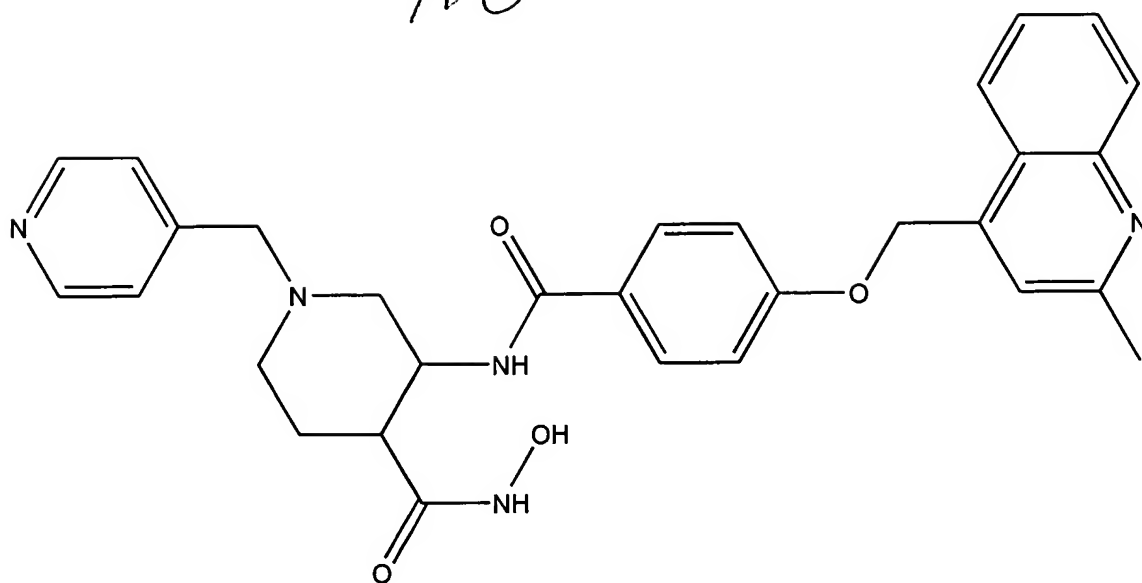
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1-(2-thiazolylmethyl)-N-hydroxy-4-[[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]carbonyl]amino]3-piperidinecarboxamide

128

NO



N-hydroxy-3-[[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]carbonyl]amino]-1-(4-pyridinylmethyl)-4-piperidinecarboxamide